

## Inventor Information for 10/524361

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<u>KRISTOFFERSSON, ANNA</u>	LUND	SWEDEN
<u>LINNANEN, TERO</u>	LUND	SWEDEN
<u>SJO, PETER</u>	LUND	SWEDEN

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10/524,361

EAST - [space that works.wsp:1]

Search History

Grid Prefs... Font... Print Font: "Tahoma", Size: 10

Ref #	Hits	Search Query	DBs
L1	958	((546/113) or (544/362)).CCLS.	USPAT
L2	34	l1 and (pyrrolo ADJ7 pyridine)	USPAT
L3	14	l2 and (514/300)".ccls"	USPAT

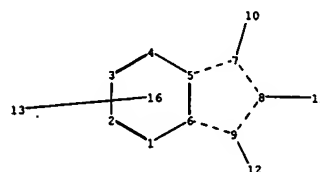
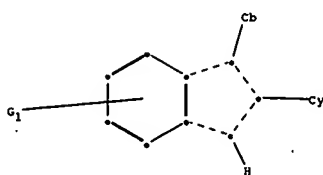
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☐ Lines

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☐ Trash

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Print All... Clear All  
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Save All... Help



chain nodes :

10 11 12 13

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

7-10 8-11 9-12

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

5-7 6-9 7-8 8-9 8-11

exact bonds :

7-10 9-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

G1:H,Cl,Br,F,I,CH3,Et,n-Pr,n-Bu,MeO,EtO,n-PrO,n-BuO,CN

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS  
13:CLASS 16:Atom

Generic attributes :

10:

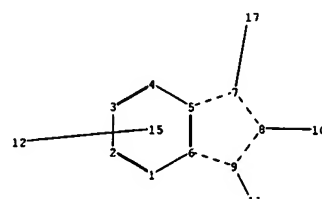
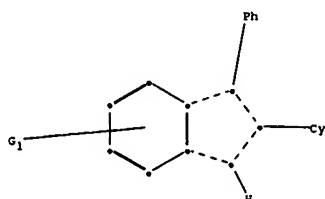
Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

11:

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic



chain nodes :

10 11 12 17

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

7-17 8-10 9-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

5-7 6-9 7-8 8-9 8-10

exact bonds :

7-17 9-11

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

G1:H,Cl,Br,F,I,CH3,Et,n-Pr,n-Bu,MeO,EtO,n-PrO,n-BuO,CN

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 15:Atom 17:CLASS

Generic attributes :

10:

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

=&gt; s 113

L14 8 L13

=&gt; d 1-8 fbib abs hitstr

L14 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1103779 CAPLUS

DN 143:387011

TI Preparation of azaindoles as inhibitors of JAK and other protein kinases

IN Salituro, Francesco; Farmer, Luc; Bethiel, Randy; Harrington, Edmund;  
Green, Jeremy; Court, John; Come, Jon; Lauffer, David; Aronov, Alex;  
Binch, Hayley; Boyall, Dean; Charrier, Jean-Damien; Everitt, Simon;  
Frayssé, Damien; Mortimore, Michael; Pierard, Francoise; Robinson, Daniel

PA Vertex Pharmaceuticals Incorporated, USA; et al.

SO PCT Int. Appl., 432 pp.

CODEN: PIXXD2

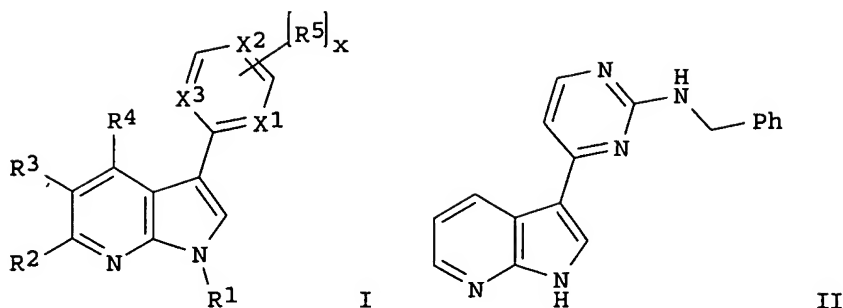
DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005095400	A1	20051013	WO 2005-US10846	20050330
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
			US 2004-557503P	P 20040330
			US 2004-625599P	P 20041105
AU 2005228904	A1	20051013	AU 2005-228904	20050330
			US 2004-557503P	P 20040330
			US 2004-625599P	P 20041105
CA 2560454	A1	20051013	WO 2005-US10846	W 20050330
			CA 2005-2560454	20050330
			US 2004-557503P	P 20040330
			US 2004-625599P	P 20041105
			WO 2005-US10846	W 20050330
EP 1730146	A1	20061213	EP 2005-756052	20050330
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			
			US 2004-557503P	P 20040330
			US 2004-625599P	P 20041105
			WO 2005-US10846	W 20050330
US 2007043063	A1	20070222	US 2005-93821	20050330
			US 2004-557503P	P 20040330
			US 2004-625599P	P 20041105
NO 2006004852	A	20061024	NO 2006-4852	20061024
			US 2004-557503P	P 20040330
			US 2004-625599P	P 20041105
			WO 2005-US10846	W 20050330
OS MARPAT 143:387011				

GI



AB The title compds. I [R1 = TR', Si(R')<sub>3</sub>; R2-R4 = halo, CN, NO<sub>2</sub>, etc.; X1-X3 = N, CH (wherein the hydrogen atom of CH is optionally replaced by R5); x = 1-4; R5 = halo, CN, NO<sub>2</sub>, etc.; T = a bond, alkylidene, etc.; R' = H, alkyl, (hetero)cyclyl, etc.; with provisos] which are inhibitors of protein kinases, were prepared E.g., a multi-step synthesis of II, starting with 7-azaindole, was given. The compds. I were tested against JAK2, JAK3, ROCK and Aurora kinases (data given). The invention also provides pharmaceutical compns. comprising the compds. I and methods of using the compns. in the treatment of various disorders.

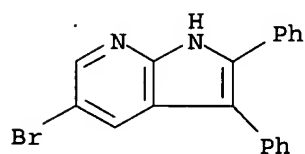
IT 664990-53-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azaindoles as inhibitors of JAK and other protein kinases)

RN 664990-53-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-diphenyl- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:162687 CAPLUS

DN 140:217627

TI Preparation of substituted pyrrolopyridines as Itk kinase inhibitors

IN Aadal Nielsen, Peter; Brimert, Thomas; Kristoffersson, Anna; Linnanen, Tero; Sjöe, Peter

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE



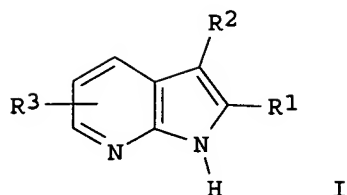
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				SE 2002-2463	A 20020814
AU	2003248588	A1	20040303	AU 2003-248588	20030813
				SE 2002-2463	A 20020814
				WO 2003-SE1272	W 20030813
EP	1539757	A1	20050615	EP 2003-788209	20030813
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				SE 2002-2463	A 20020814
				WO 2003-SE1272	W 20030813
JP	2006500362	T	20060105	JP 2004-528997	20030813
				SE 2002-2463	A 20020814
				WO 2003-SE1272	W 20030813
US	2005261331	A1	20051124	US 2005-524626	20050210
				SE 2002-2463	A 20020814
				WO 2003-SE1272	W 20030813

## PATENT FAMILY INFORMATION:

FAN 2004:162688

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004016610	A1	20040226	WO 2003-SE1275	20030813
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				SE 2002-2463	A 20020814
AU	2003253532	A1	20040303	AU 2003-253532	20030813
				SE 2002-2463	A 20020814
				WO 2003-SE1275	W 20030813
EP	1539758	A1	20050615	EP 2003-788212	20030813
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
				SE 2002-2463	A 20020814
				WO 2003-SE1275	W 20030813
JP	2006500363	T	20060105	JP 2004-529000	20030813
				SE 2002-2463	A 20020814
				WO 2003-SE1275	W 20030813
US	2005215582	A1	20050929	US 2005-524361	20050210
				SE 2002-2463	A 20020814
				WO 2003-SE1275	W 20030813

OS MARPAT 140:217627  
GI



AB The title compds. [I; R1 = (un)substituted Ph, 5-6 membered aromatic heterocyclyl containing 1-3 heteroatoms selected from O, S and N; R2 = (un)substituted Ph, 5-6 membered aromatic heterocyclyl containing 1-3 heteroatoms selected from O, S and N; R3 = H, halo, alkyl, alkoxy, CN] and their salts, useful for treating or reducing the risk of a human disease or condition in which inhibition of Itk kinase activity is beneficial (such as asthma and allergic rhinitis), were prepared Thus, reacting 2-(4-methoxyphenyl)-1-phenylethanone with 5-bromo-2-hydrazinopyridine at 230° afforded 58% 5-bromo-3-(4-methoxyphenyl)-2-phenyl-1H-pyrrolo[2,3-b]pyridine. The exemplified compds. I showed IC50 of < 25 μM against Itk kinase. The pharmaceutical composition comprising the compound I is claimed.

IT 664990-48-1P 664990-53-8P 664990-54-9P

664990-58-3P 664990-63-0P 664990-64-1P

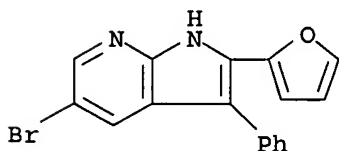
664990-65-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted pyrrolopyridines as Itk kinase inhibitors)

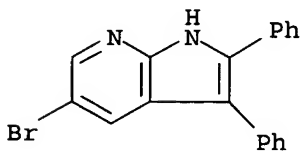
RN 664990-48-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2-(2-furanyl)-3-phenyl- (9CI) (CA INDEX NAME)

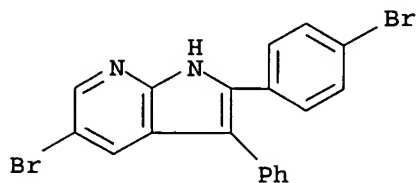


RN 664990-53-8 CAPLUS

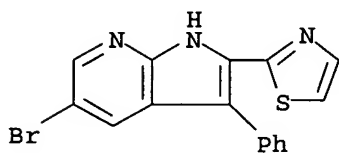
CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2,3-diphenyl- (9CI) (CA INDEX NAME)



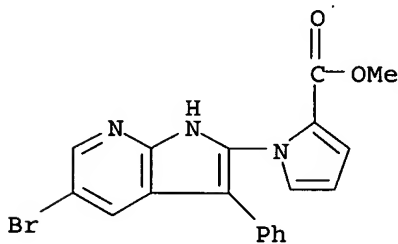
RN 664990-54-9 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2-(4-bromophenyl)-3-phenyl- (9CI) (CA INDEX NAME)



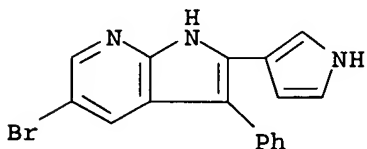
RN 664990-58-3 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-phenyl-2-(2-thiazolyl)- (9CI) (CA INDEX NAME)



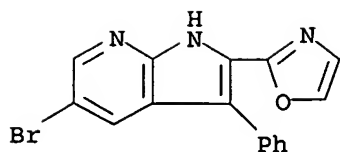
RN 664990-63-0 CAPLUS  
 CN 1H-Pyrrole-2-carboxylic acid, 1-(5-bromo-3-phenyl-1H-pyrrolo[2,3-b]pyridin-2-yl)-, methyl ester (9CI) (CA INDEX NAME)



RN 664990-64-1 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-3-phenyl-2-(1H-pyrrol-3-yl)- (9CI) (CA INDEX NAME)

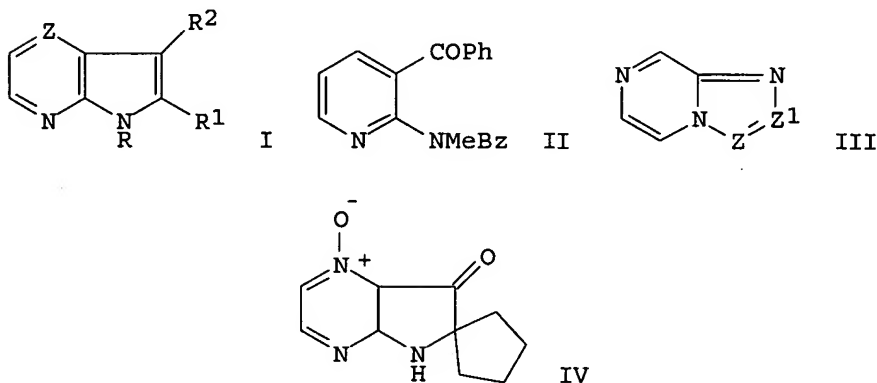


RN 664990-65-2 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 5-bromo-2-(2-oxazolyl)-3-phenyl- (9CI) (CA INDEX NAME)



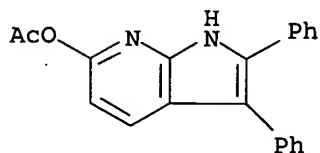
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1980:532395 CAPLUS  
DN 93:132395  
TI Ring opening or rearrangement versus N-oxidation in the action of peracids upon pyrrolo[2,3-b]pyridines, pyrrolo[2,3-b]pyrazines, and triazolo[1,5-a]- and triazolo[4,3-a]pyrazine. Some chemical and spectroscopic properties of the triazolopyrazines and their N-oxides  
AU Hardy, Christopher R.; Parrick, John  
CS Sch. Chem., Brunel Univ., Uxbridge, UB3 3PH, UK  
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1980), (2), 506-11  
CODEN: JCPRB4; ISSN: 0300-922X  
DT Journal  
LA English  
OS CASREACT 93:132395  
GI

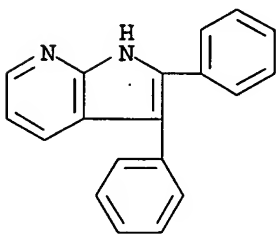


AB Peracid oxidation of the title compds. occurred by a variety of reaction pathways. E.g., the pyrrolopyridine and -pyrazines I (Z = CH, R = Me, R1 = R2 = Ph; Z = N, R = H, R1 = Ph, R2 = Me, resp.) ring-opened to give II and PhCONHCONH2, resp. In contrast, oxidation of the triazoles III (Z = N, Z1 = C; Z = C, Z1 = N, resp.) gave the resp. 7-oxides. The pyrrolopyrazine I [Z = N, R = Ac, R1R2 = (CH2)4] underwent rearrangement and N-oxidation to give the spiro compound IV. Some chemical and spectral properties of the triazolopyrazines and their N-oxides are reported.  
IT 23616-69-5 74803-15-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(methylation of)

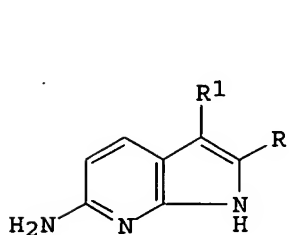
RN 23616-69-5 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-ol, 2,3-diphenyl-, acetate (ester) (8CI, 9CI)  
 (CA INDEX NAME)



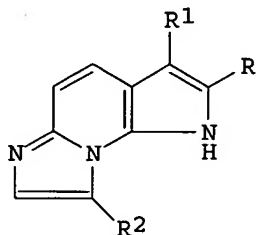
RN 74803-15-9 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-diphenyl- (6CI, 9CI) (CA INDEX NAME)



L14 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1977:439361 CAPLUS  
 DN 87:39361  
 TI Synthesis and reactions of the 1H-imidazo[1,2-a]pyrrolo[3,2-e]pyridine system  
 AU Bancroft, Keith C. C.; Ward, Terence J.; Brown, Kevan  
 CS Sch. Chem., Leicester Polytech., Leicester, UK  
 SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1977), (5), 465-7  
 CODEN: JCPRB4; ISSN: 0300-922X  
 DT Journal  
 LA English  
 OS CASREACT 87:39361  
 GI



I



II

AB 6-Amino-1H-pyrrolo[2,3-b]pyridines with  $\alpha$ -halocarbonyl compds. gave

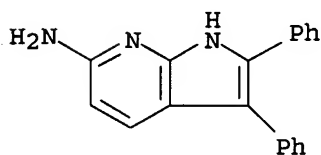
1H-imidazo[1,2-a]pyrrolo[3,2-e]pyridines. E.g., I (R = Me, R1 = Me, H) with BrCH<sub>2</sub>CHO under reflux in aqueous EtOH in the presence of NaHCO<sub>3</sub> gave 88 and 52% II (R = Me, R1 = Me, H, R2 = H, resp.). The imidazopyrrolopyridines underwent bromination, acetylation, and Mannich reactions at the 3-position; when the 3-position was blocked 8-substitution occurred. E.g., bromination of II (R = Me, R1 = Me, H, R2 = H) in CHCl<sub>3</sub> gave 20 and 48% II (R = R1 = Me, R2 = Br; R = Me, R1 = Br, R2 = H, resp.).

IT 55463-74-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclocondensation reaction of, with halocarbonyl compds.)

RN 55463-74-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-diphenyl- (9CI) (CA INDEX NAME)



L14 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1975:4147 CAPLUS

DN 82:4147

TI Application of the Bischler reaction to the preparation of pyrrolopyridines and the novel dipyrrolopyridine system

AU Bancroft, Keith C. C.; Ward, Terence J.; Brown, Kevan

CS Sch. Chem., City Leicester Polytech., Leicester, UK

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1974), (15), 1852-8  
CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

LA English

GI For diagram(s), see printed CA Issue.

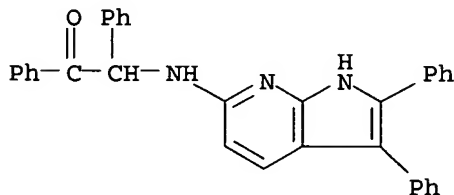
AB The Bischler reaction of  $\alpha$ -hydroxy ketones and 2,6-diaminopyridine gave 6-amino-1H-pyrrolo[2,3-b]pyridines and the 1,7-dihydrodipyrrolo[2,3-b:3',2'-e]pyridine system with various alkyl and aryl substituents. 2,6-Diphenyl-1,7-dihydrodipyrrolo[2,3-b:3',2'-e]-pyridine (I) underwent 3,5-disubstitution by electrophiles.

IT 55463-76-8P

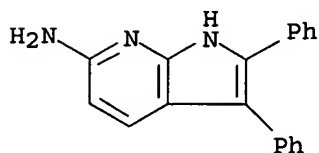
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 55463-76-8 CAPLUS

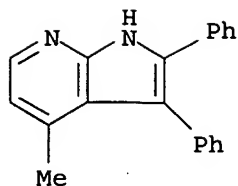
CN Ethanone, 2-[(2,3-diphenyl-1H-pyrrolo[2,3-b]pyridin-6-yl)amino]-1,2-diphenyl- (9CI) (CA INDEX NAME)



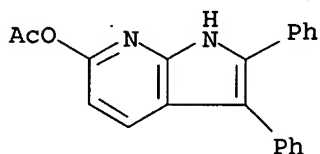
IT 55463-74-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with benzoine)  
 RN 55463-74-6 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-diphenyl- (9CI) (CA INDEX NAME)



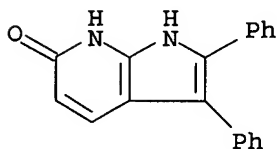
L14 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1969:449810 CAPLUS  
 DN 71:49810  
 TI Syntheses and properties of 1H-pyrrolo[2,3-b] pyridines  
 AU Herbert, R.; Wibberley, D. G.  
 CS Sch. Pharm., Sunderland Polytech., Sunderland, UK  
 SO Journal of the Chemical Society [Section] C: Organic (1969), (11),  
 1505-14  
 CODEN: JSOOAX; ISSN: 0022-4952  
 DT Journal  
 LA English  
 OS CASREACT 71:49810  
 GI For diagram(s), see printed CA Issue.  
 AB Five different routes for the preparation of 1H-pyrrolo[2,3-b]pyridines (I) were investigated. A number of 2-, 3-, and 4-alkyl and -aryl substituted derivs. were prepared by two of these methods which involved modifications of Madelung- and Fischer-syntheses of indoles. I undergo nitration, nitrosation, bromination, iodination, and reaction with Mannich bases predominantly at the 3-position although one example of nitration at the 2-position was also found. Bis[3-(1H-pyrrolo[2,3-b]-pyridyl)]methanes are formed by reaction with aldehydes, and treatment of 2-phenyl-1H-pyrrolo[2,3-b]pyridine with nitrosobenzene yields 2-phenyl-3-phenylimino-3H-pyrrolo[2,3-b]pyridine. A further example of a derivative of this isomeric 3H-system is 3-diazo-2-phenyl-3H-pyrrolo[2,3-b]pyridine which is formed from the corresponding amine by basification of the diazonium salt. 1-Substituted Grignard derivs. yield 3-iodo-compds. on treatment with H2O2 but only 1-acyl derivs. with acyl chlorides. Treatment of 2-phenyl-1H-pyrrolo[2,3-b]pyridine with CHCl3 and alkali caused ring-expansion to a 1,8-naphthyridine. A number of unexpected products were isolated both in the syntheses of the 1H-pyrrolo[2,3-b]pyridines and in their reactions with electrophiles. Ir, N.M.R., and mass spectra were used to establish all structures.  
 IT 23612-72-8P 23616-69-5P 23616-70-8P  
 23616-71-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 23612-72-8 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 4-methyl-2,3-diphenyl- (8CI) (CA INDEX NAME)



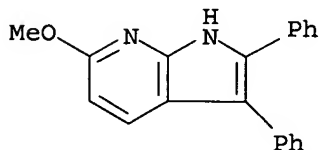
RN 23616-69-5 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-ol, 2,3-diphenyl-, acetate (ester) (8CI, 9CI)  
 (CA INDEX NAME)



RN 23616-70-8 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridin-6-ol, 2,3-diphenyl- (8CI) (CA INDEX NAME)



RN 23616-71-9 CAPLUS  
 CN 1H-Pyrrolo[2,3-b]pyridine, 6-methoxy-2,3-diphenyl- (8CI) (CA INDEX NAME)



L14 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1959:94804 CAPLUS  
 DN 53:94804  
 OREF 53:17126h-i,17127a-i,17128a  
 TI 7-Azaindole. V. Investigations of alternative syntheses of the ring system  
 AU Okuda, Shigenobu; Robison, Michael M.  
 CS Amherst Coll., Amherst, MA  
 SO Journal of the American Chemical Society (1959), 81, 740-3  
 CODEN: JACSAT; ISSN: 0002-7863  
 DT Journal  
 LA Unavailable  
 OS CASREACT 53:94804  
 AB cf. C.A. 51, 13860g. 5,6,7,8-Tetrahydro-9H-pyrido[2,3-b]indole (I) and



2,3-diphenyl-7-azaindole (II) were prepared by Fischer cyclizations of the corresponding pyridylhydrazones with polyphosphoric acid (III), though the method failed for a number of simpler pyridylhydrazones.

2-Aminopyridine-3-acetic acid (IV), obtained in low yield by a sequence from 3-(cyanomethyl)pyridine N-oxide (V), was also converted to 7-azaoxindole (VI). Cyclohexanone (VII) (4.90 g.) added to 5.45 g. 2-pyridylhydrazine (VIIa), the resulting white powder triturated with H<sub>2</sub>O, and recrystd. from cyclohexane and aqueous EtOH yielded the 2-pyridylhydrazone (VIII) of VII, m. 92-2.5° with sintering at about 85° (all m.ps. are corrected). VIII (5.68 g.) and 18 g. III heated gradually (to about 160°) to initiate the vigorous reaction, the mixture cooled, dissolved in 100 cc. H<sub>2</sub>O, washed with Et<sub>2</sub>O, neutralized with NH<sub>4</sub>OH, the product sublimed at 110°/0.2 mm. and recrystd. from aqueous EtOH yielded 2.75 g. I, m. 155-6°. I (0.001 mol), 0.1 g. 5% Pd-C, and 5 cc. Dowtherm refluxed 2 h., cooled, added to 5 cc. C<sub>6</sub>H<sub>6</sub>, filtered, extracted with warm dilute HCl, and the extract basified with NH<sub>4</sub>OH precipitated 0.14 g. 9H-pyrido[2,3-b]indole, m. 210.5-11° (C<sub>6</sub>H<sub>6</sub>). PhCH(OH)Bz (IX) (1.96 g.) in 1 cc. glacial AcOH and 1.09 g. VIIa kept 2.5 h. at room temperature and the solid deposit triturated with dilute NH<sub>4</sub>OH and EtOH gave 1.4 g. 2-pyridylhydrazone (X) of IX, b<sub>0.3</sub> 160°, m. 110°. X (1.8 g.) and 8 g. III heated 1 h. at 110-20°, cooled, stirred with 20 cc. warm H<sub>2</sub>O, and extracted with C<sub>6</sub>H<sub>6</sub>, the aqueous layer and solid basified

with NH<sub>4</sub>OH, the organic layer extracted with Et<sub>2</sub>O, and the extract worked up yielded 0.21

g. II, m. 292.5-3.5° (BuOH) (sublimed at 230°/0.1 mm.). Desyl chloride (2.31 g.) in 10 cc. 95% EtOH refluxed with 0.94 g. 2-aminopyridine, the EtOH distilled, the mixture heated 1 h. at 130-40° and 5 min. to 190°, cooled, treated with H<sub>2</sub>O and NH<sub>4</sub>OH, and diluted with Me<sub>2</sub>CO precipitated 1.14 g. 2,3-diphenylimidazo[1,2-a]pyridine, plates, m. 151-1.5° (MeCN). NaCN (30 g.) in 45 cc. hot H<sub>2</sub>O treated with stirring with 250 cc. 95% EtOH and then dropwise with stirring during 40 min. with 20 g. 3-(chloromethyl)pyridine-HCl in 50 cc. 95% EtOH and 20 cc. H<sub>2</sub>O, refluxed 1 h. with stirring, evaporated in vacuo, the residue extracted

with Et<sub>2</sub>O, and the extract worked up yielded 76.5-82.5% 3-(cyanomethyl)pyridine (XI), b<sub>7</sub> 126°, n<sub>20D</sub> 1.5279. XI (11.0 g.), 55 cc. glacial AcOH, and 15 cc. 30% H<sub>2</sub>O<sub>2</sub> heated 12 h. on the steam bath, cooled to room temperature, treated again with 10 cc. H<sub>2</sub>O<sub>2</sub>, heated 8 h., diluted with 70 cc. H<sub>2</sub>O, evaporated

in vacuo, treated with H<sub>2</sub>O and evaporated again repeatedly, extracted with CHCl<sub>3</sub>,

and the extract worked up gave 10.8 g. V, m. 135.5-6.5° (CHCl<sub>3</sub>-C<sub>6</sub>H<sub>6</sub>). V (10.0 g.) added to 100 cc. POCl<sub>3</sub>, warmed slowly with vigorous shaking, refluxed 2 h., evaporated in vacuo, the brown sirupy residue poured onto 160 g. ice, filtered, and the residue recrystd. from Et<sub>2</sub>O-petr. ether gave 2.55 g. 2-Cl derivative (XII) of XI, m. 85-6° (Et<sub>2</sub>O-petr. ether). The strongly acidic, aqueous filtrate extracted with CHCl<sub>3</sub>, the extract worked up,

and the residue chromatographed on 80 g. Al<sub>2</sub>O<sub>3</sub> yielded 1.44 g. XII and 0.91 g. 2-chloro-5-(cyanomethyl)pyridine (XIII), m. 48-50°; the intermediate fractions combined with the recrystn. mother liquors from the XII and rechromatographed on 50 g. Al<sub>2</sub>O<sub>3</sub> yielded an addnl. 0.52 g. XII, 0.65 g. XIII, and 0.7 g. XII-XIII mixture; the crude XIII recrystd. from Et<sub>2</sub>O-petr. ether gave pure XIII, m. 51-2°. The aqueous layer from the CHCl<sub>3</sub> extns. adjusted to pH 4 with NH<sub>4</sub>OH and extracted with CHCl<sub>3</sub>, basified with NH<sub>4</sub>OH and again extracted, and the extract worked up gave only intractable tars; the aqueous layer evaporated to dryness in vacuo, the residue extracted

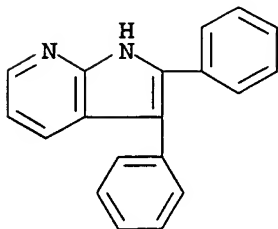
with

boiling Me<sub>2</sub>CO, and the extract evaporated gave 0.78 g. 3-hydroxy-5-(cyanomethyl)pyridine (XIV), m. 180-1° (C<sub>6</sub>H<sub>6</sub>-Me<sub>2</sub>CO), orange-red with FeCl<sub>3</sub>. XII (0.5 g.) and 20 cc. concentrated HCl refluxed 5.5 h. and evaporated to dryness in vacuo, the residue triturated with H<sub>2</sub>O, dissolved in dilute aqueous NaHCO<sub>3</sub>, filtered, and repptd. with acid gave 0.43 g. 2-chloro-3-pyridineacetic acid (XV), m. 203-4° (C<sub>6</sub>H<sub>6</sub>). XII (265 mg.) and 614 mg. KMnO<sub>4</sub> in 20 cc. H<sub>2</sub>O heated 20 min. on the steam bath, filtered, saturated with CO<sub>2</sub>, evaporated in vacuo, the residue dissolved in H<sub>2</sub>O, filtered, repptd. with acid, and recrystd. from H<sub>2</sub>O yielded 232 mg. 2-chloronicotinic acid, m. 192-3° (decomposition). XIII oxidized similarly yielded 50% 6-chloronicotinic acid, m. 198-9°. XIV (0.35 g.) and 10 cc. concentrated HCl refluxed 5 h., evaporated in vacuo, the residue dissolved in 10 cc. H<sub>2</sub>O, treated with saturated aqueous Cu(OAc)<sub>2</sub>, the precipitate filtered off, washed with a small amount cold H<sub>2</sub>O, suspended in 40 cc. MeOH, treated with H<sub>2</sub>S, filtered, and evaporated, and the residue recrystd. from MeOH-EtAc gave 0.31 g. 3-hydroxy-5-pyridineacetic acid (XVI), m. 197° (decomposition). XVI (0.2 g.) heated 15 min. under N at 230° and the residue sublimed at 135/0.05 mm. gave 0.11 g. 3-hydroxy-5-methylpyridine, m. 138.5° (C<sub>6</sub>H<sub>6</sub>); picrate m. 189-90°. XII (0.5 g.), 0.1 g. CuSO<sub>4</sub>·5H<sub>2</sub>O, and 15 cc. concentrated NH<sub>4</sub>OH heated 42 h. in a sealed tube at 135 ± 10°, filtered, evaporated in vacuo, and the residue treated with 5 cc. cold H<sub>2</sub>O gave 105 mg. IV, m. 219-21.5° (decomposition), crystallizing with 0.5 mol H<sub>2</sub>O. The aqueous filtrate from the crude IV treated with H<sub>2</sub>S, filtered, evaporated in vacuo, the residue extracted with hot absolute EtOH, the extract evaporated, the residue dissolved in 5 cc. absolute EtOH, the solution diluted with 30 cc. Me<sub>2</sub>CO, filtered, concentrated to 1/3 the original volume, and the pale orange deposit (0.11 g.) recrystd. from absolute EtOH-C<sub>6</sub>H<sub>6</sub> and H<sub>2</sub>O gave 23 mg. 2-hydroxy-3-pyridineacetic acid (XVII), m. 240-1° (decomposition). XV (0.16 g.) in 10 cc. 5% aqueous NaOH heated 4.5 h. in an autoclave at 200°, filtered, acidified with concentrated HCl, evaporated, the residue extracted with boiling MeOH, and the extract worked up gave 95 mg. XVII, m. 240-1° (decomposition), red color with FeCl<sub>3</sub>. IV (0.1 g.) heated 10 min. under N at 225° and the residue sublimed at 170°/10 mm. yielded 56 mg. VI, m. 175°.

IT 74803-15-9P, 1H-Pyrrolo[2,3-b]pyridine, 2,3-diphenyl-  
 RL: PREP (Preparation)  
 (preparation of)

RN 74803-15-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2,3-diphenyl- (6CI, 9CI) (CA INDEX NAME)



AN 1947:25604 CAPLUS

DN 41:25604

OREF 41:5126f-i,5127a-i,5128a-f

TI Derivatives of 2,6-diaminopyridine

AU Bernstein, Jack; Stearns, Barbara; Shaw, Elliott; Lott, W. A.

CS Squibb Inst. for Med. Research, New Brunswick, NJ

SO Journal of the American Chemical Society (1947), 69, 1151-8

CODEN: JACSAT; ISSN: 0002-7863

DT Journal

LA Unavailable

OS CASREACT 41:25604

AB Since 2,6-diaminopyridine (I) showed an appreciable antiparasitic activity when tested against *Plasmodium lophurae* in ducklings, various derivs. of I have been prepared to determine if further substitution in the mol. would increase the antiparasitic activity of the parent compound I (66 g.) in 300 cc. dioxane, treated dropwise with 23.5 g. AcCl in 50 cc. dioxane (0.5 h.) at 25-30° and stirred 2 addnl. hrs., gives 40% of the 2-Ac derivative (II), m. 156-7°; 2-butyryl derivative m. 152-3°, 25%; 2-salicyloyl derivative (prepared from o-AcOC<sub>6</sub>H<sub>4</sub>COCl and purified by precipitation from dilute HCl with dilute NaOH) m. 178-9°, 44%; 2-[phenyl(acetoxy)acetyl] derivative (as HCl salt with 1 mol. H<sub>2</sub>O) m. 151-3°, 23%. N,N'-Bis(6-amino-2-pyridyl)adipamide m. 228-9°, 72%; N,N'-bis(6-amino-2-pyridyl)sebacamide m. 152-5°, 55%. 1,3-Bis(6-amino-2-pyridyl)urea does not melt, 71%. (CH<sub>2</sub>CO)<sub>2</sub>O (30 g.) in 200 cc. dioxane, treated slowly with 33 g. I in 200 cc. dioxane and heated 3 h. on the steam bath, gives 57% N-(6-amino-2-pyridyl)succinamic acid, m. 174-5° (decomposition). I (46 g.) and 222 cc. AcCH<sub>2</sub>CO<sub>2</sub>Et, heated 15 min. at 160° and the product in EtOH treated with alc. HCl, give 40% 2,6-bis(acetylacetamido)pyridine-HCl, m. 195-8°; the filtrate yields 10% of the 2-acetylacetamido derivative, m. 146-7°. I (22 g.) and 22.6 g. NCCCH<sub>2</sub>CO<sub>2</sub>Et, heated 2 h. at 165°, give 85% 2-amino-6-cyanoacetamidopyridine, m. 152-3°. 2-Acetamido-6-carbethoxyacetamidopyridine m. 150-1.5°, 41%. I (282 g.) and 290 g. HOCH<sub>2</sub>CO<sub>2</sub>H, fused 15 h. at 120° under reduced pressure, give 35% 2,6-bis(glycolylamino)pyridine, m. 220-1°. I (33 g.) in 200 cc. absolute EtOH containing 6.9 g. Na and 43 g. Et<sub>2</sub>NCH<sub>2</sub>CO<sub>2</sub>Et, refluxed 2 h., give

55%

2,6-bis(diethylaminoacetamido)pyridine, m. 109.5-10.5°. I (22 g.) and 27 g. AcNHCOC<sub>2</sub>H<sub>5</sub>, ground in a mortar and 35 cc. C<sub>5</sub>H<sub>5</sub>N added, give 19% 2,6-bis(acetamidoacetamido)pyridine, m. 260-1°. MeC(:NH)NH<sub>2</sub>.HCl (24.6 g.) in 100 cc. absolute EtOH, added to 22 g. I in 150 cc. absolute EtOH, stirred 3 h., and allowed to stand overnight at room temperature, give 38% N-(6-amino-2-pyridyl)acetamidine-HCl, m. 246-7° (decomposition). I (396 g.) in 8 l. H<sub>2</sub>O, treated dropwise with 195 g. ClCO<sub>2</sub>Et (3 h.), gives 76% 2-amino-6-carbethoxyaminopyridine (III), m. 109-12°. I (33 g.) in 500 cc. H<sub>2</sub>O, 200 cc. N HCl, and 300 g. ice, treated dropwise with 33 g. ClCO<sub>2</sub>Et, stirred 2 h., 200 cc. N HCl added, and the mixture allowed to stand overnight at 10°, gives 55% 2,6-bis(carbethoxyamino)pyridine, m. 132.5-3.5°, and 12 g. III. III (21.6 g.) in 120 cc. 3 N EtOH-NH<sub>3</sub>, heated 12 h. at 110°, gives 75% 2-amino-6-ureidopyridine, m. 175-6° (decomposition). I (48 g.) and 48 g. CO(NH<sub>2</sub>)<sub>2</sub>, heated 36 h. at 130°, give 49% 2,6-diureidopyridine, does not melt below 300° (purified by extraction with 300 cc. 3% HCl and crystallization of the residue from H<sub>2</sub>O). I (12 g.) in 1500 cc. C<sub>6</sub>H<sub>6</sub>, treated dropwise with 17.9 g. p-EtOC<sub>6</sub>H<sub>4</sub>NCO in 75 cc. C<sub>6</sub>H<sub>6</sub>, gives 86% 2-(p-ethoxyphenylureido)-6-aminopyridine, m. 168-9°; 2-(2-nitro-4-methoxyphenylureido)-6-aminopyridine m. 208-10°, 73%; reduction over Pt oxide gives 50% of the corresponding 2-(2-amino-4-methylphenylureido) derivative, m. 182-4°.

I (154 g.) and 200 g. of the HCl salt of I, heated 12 h. at 190°, give 60% bis(6-amino-2-pyridyl)amine, m. 172-3° (the HCl salt does not melt). 2,6-Dibromopyridine (IV) (38 g.) and 160 cc. 25% aqueous MeNH<sub>2</sub>, heated 8 h. at 190°, give 59% 2,6-bis(methylamino)pyridine, m. 70-1°; this results in 20% yield from 27.6 g. 2-amino-6-bromopyridine (V) and 110 cc. 25% aqueous MeNH<sub>2</sub> on heating 30 h. at 190°. V (80 g.) and 200 cc. EtNH<sub>2</sub>, heated 36 h. at 170-80°, give 81% 2-amino-6-(diethylamino)pyridine (VI), b<sub>4.5</sub> 122-3°, m. 34-5° (HCl salt, m. 143-4°). IV (45 g.) and 27.8 g. Et<sub>2</sub>NH in 100 cc. absolute EtOH, heated 8 h. at 170-80°, give 85% 2-bromo-6-(diethylamino)pyridine (VII), b<sub>4</sub> 97-9°; VII does not react with NH<sub>4</sub>OH (d. 0.9) at 170-80° (8 h.); 11 g. VII and 35 cc. 5 N EtOH-NH<sub>3</sub>, heated 25 h. at 170°, also did not react; 18.8 g. VII in 100 cc. NH<sub>4</sub>OH (d. 0.9) containing 1 g. CuSO<sub>4</sub>·5H<sub>2</sub>O, heated 30 h. at 140-5°, gives 44% VI. IV (35.6 g.) and 100 cc. Et<sub>2</sub>NH containing 4 cc. 25% CuSO<sub>4</sub>·5H<sub>2</sub>O, heated 30 h. at 160°, give 76% 2,6-bis(diethylamino)pyridine, b<sub>3</sub> 120-2° (HCl salt, m. 120-2°). 2-Amino-6-(3-diethylaminopropylamino)pyridine-HCl m. 65-75°, 53%; 2-acetamido-6-(4-diethylamino-1-methylbutylamino)pyridine m. 106-8° (51%). 2-Acetamido-6-(3-keto-1-methylbutylideneamino)-pyridine, 2,6-AcNHC<sub>5</sub>H<sub>3</sub>N(N:CMech<sub>2</sub>Ac), m. 146-7.5°, 40%. 2-Acetamido-6-(2,5-dimethyl-1-pyrryl)pyridine m. 147.5-8.5°, 54%. 2-Methoxy-6,9-dichloroacridine (11.2 g.) in 50 g. PhOH, warmed on the steam bath, treated with 11 g. I, and heated 3 h., gives 61% 2-methoxy-6-chloro-9-(6-amino-2-pyridylamino)acridine, yellow, m. 232-3°. II (30.2 g.), added in small portions to 100 cc. HNO<sub>3</sub> (d. 1.5) at -5° to -2° and stirred an addnl. 30 min., gives 65% of the Ac derivative, decompose violently at 193°, of 2-nitramino-6-aminopyridine (VIII), darkens at 240-50° (hydrolysis by refluxing 1 h. with N NaOH); reduction of 15.4 g. VIII in 300 cc. 10% NaOH at 0-2° with 31 g. Zn gives 69% 2-hydrazino-6-aminopyridine, pale yellow, m. 93-4°; warmed 2 h. on the steam bath with AcCH<sub>2</sub>CO<sub>2</sub>Et (N atmospheric), there results

44%

1- (6-amino-2-pyridyl)-3-methyl-5-pyrazolone, m. 188-9.5°. 3-Methylpyridine (80 g.), 160 g. PhNMe<sub>2</sub>, and 144 g. NaNH<sub>2</sub>, heated 10 h. at 130-50° and 6 h. at 170-200°, give 4% 2,6-diamino-3-methylpyridine, m. 149-50°. 2,6-Dihydroxy-4-methylpyridine (9 g.) and 30 g. PBr<sub>3</sub>, heated 4.5 h. at 180°, give 36% 2,6-dibromo-4-methylpyridine, m. 74-5°; heated with NH<sub>4</sub>OH (d. 0.9) 27 h. at 195°, there results 71% 2,6-diamino-4-methylpyridine, m. 87-8°, which on sublimation m. 109-11° but reverts to the lower m.p. on standing. 2,6-Diamino-3-iodopyridine (23.5 g.) in 25 cc. AcOH and 35 cc. Ac<sub>2</sub>O, heated 1 h. on the steam bath, gives 33% of the di-Ac derivative, m. 210-11°. I (38 g.) in 550 cc. H<sub>2</sub>O, treated with 93 g. iodine and 93 g. KI in 150 cc. H<sub>2</sub>O, the mixture stirred 8 h., and allowed to stand overnight at room temperature, gives 36% 2,6-diamino-3,5-diiodopyridine-HCl, m. 160-5°; the free base m. 209-10°.

3-Methoxypyridine (IX) (15.8 g.) in 100 cc. concentrated H<sub>2</sub>SO<sub>4</sub>, treated dropwise

(with cooling) with 25 cc. HNO<sub>3</sub> (d. 1.6) and warmed 6 h. on the steam bath, gives 12.2 g. 3-methoxy-2,6-dinitropyridine (X), m. 114-15°. IX (57 g.), added to 130 cc. concentrated H<sub>2</sub>SO<sub>4</sub> at 5°, the mixture treated with 70 cc. HNO<sub>3</sub> (d. 1.6), and heated 1 h. on the steam bath, yields 38 g. 2-nitro-3-methoxypyridine (XI), m. 73-5°; 5 g. XI in 15 cc. concentrated H<sub>2</sub>SO<sub>4</sub>, treated with 4 cc. HNO<sub>3</sub> (d. 1.6), gives 4.4 g. X. Catalytic reduction (Pt oxide) of 16.8 g. X in 500 cc. AcOH and 250 cc. Ac<sub>2</sub>O at room temperature (4 h.) gives 60% 3-methoxy-2,6-diacetamidopyridine, m. 173.5-4.5°. 2,3,6-Triaminopyridine-2HCl in 200 cc. H<sub>2</sub>O and 25 g. Ac<sub>2</sub> in 200 cc. H<sub>2</sub>O, boiled 4 min., yield 98% 2,3-dimethyl-6-aminopyrido[2,3]pyrazine, m.

227-8°; 6-aminopyrido[2,3]pyrazine m. 267°, 62%.  
 2,3,6-Triaminopyridine oxalate (80 g.) in 150 cc. (CO<sub>2</sub>Et)<sub>2</sub>, heated 90 min. at 185°, gives 68% 2,3-dihydroxy-6-aminopyrido[2,3]pyrazine, does not m. below 300°. Addition of 23.8 g. 2,6-diacetamido-3-nitropyridine to 100 g. SnCl<sub>2</sub>·2H<sub>2</sub>O in 150 cc. concentrated HCl gives 26% 2-methyl-5-amino-1-imidazo[b]pyridine-HCl; neither the base nor the salt melts. I (55 g.) and 194 g. KCNS in 1 l. 95% AcOH, treated dropwise at -5° to -10° with 26 cc. Br, give 24% 2,5-diaminopyrido[2,3-d]thiazole (XII), m. 138-9°; II likewise gives the 5-Ac derivative of XII, m. 184-5°. I (66 g.) in 2 l. AcOH, treated with 460 g. KCNS in 100 cc. H<sub>2</sub>O and then at 0-3° with 64 cc. Br, with stirring 1 h. at room temperature, yields 22% 2,6-diaminopyrido[2,3-d,6,5-d']bisthiazole,

does

not melt below 300°. I (25 g.), 29 g. I·HCl, and 42 g. benzoin, heated 1 h. at 185°, yield 89% 2,3-diphenyl-6-amino-1-pyrrolo[2,3-b]pyridine, m. 234.5-5.5°. 2-Amino-6-(3-keto-1-methylbutylideneamino)pyridine (16 g.) in 100 cc. 85% H<sub>3</sub>PO<sub>4</sub>, warmed 1 h. on the steam bath, gives 84% 2,4-dimethyl-7-amino-1,8-naphthyridine, m. 216-18°; this results in 85% yield from 5 g. I and 5 cc. CH<sub>2</sub>Ac<sub>2</sub> in 25 cc. 85% H<sub>3</sub>PO<sub>4</sub> on warming 30 min. on the steam bath. 2,7-Dihydrazino-4-methyl-1,8-naphthyridine-2HCl·2H<sub>2</sub>O (23 g.) and 18 g. AcCH<sub>2</sub>CO<sub>2</sub>Et in 200 cc. 50% EtOH, heated 5 min. at 70°, give 84% 2,7-bis(3-methyl-5-keto-1-pyrazolyl)-4-methyl-1,8-naphthyridine, m. 260-2°. The most active of these compds. (II, XII, and the di-Ac derivative of I) are only 1/3 as active as quinine as antiparasitic agents for Plasmodium lophurae in ducklings.

IT 55463-74-6P, 1H-Pyrrolo[2,3-b]pyridine, 6-amino-2,3-diphenyl-

RL: PREP (Preparation)

(preparation of)

RN 55463-74-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-amine, 2,3-diphenyl- (9CI) (CA INDEX NAME)

